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* * * * * * * * * * Welcome to STN International * * * * * * * * *

| | |
|----------------|---|
| NEWS 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 APR 04 | STN AnaVist, Version 1, to be discontinued |
| NEWS 3 APR 15 | WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats |
| NEWS 4 APR 28 | EMBASE Controlled Term thesaurus enhanced |
| NEWS 5 APR 28 | IMSRESEARCH reloaded with enhancements |
| NEWS 6 MAY 30 | INPAFAMDB now available on STN for patent family searching |
| NEWS 7 MAY 30 | DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option |
| NEWS 8 JUN 06 | EPFULL enhanced with 260,000 English abstracts |
| NEWS 9 JUN 06 | KOREPAT updated with 41,000 documents |
| NEWS 10 JUN 13 | USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications |
| NEWS 11 JUN 19 | CAS REGISTRY includes selected substances from web-based collections |
| NEWS 12 JUN 25 | CA/Caplus and USPAT databases updated with IPC reclassification data |
| NEWS 13 JUN 30 | AEROSPACE enhanced with more than 1 million U.S. patent records |
| NEWS 14 JUN 30 | EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations |
| NEWS 15 JUN 30 | STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in |
| NEWS 16 JUN 30 | STN AnaVist enhanced with database content from EPFULL |
| NEWS 17 JUL 28 | CA/Caplus patent coverage enhanced |
| NEWS 18 JUL 28 | EPFULL enhanced with additional legal status information from the epoline Register |
| NEWS 19 JUL 28 | IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements |
| NEWS 20 JUL 28 | STN Viewer performance improved |
| NEWS 21 AUG 01 | INPADOCDB and INPAFAMDB coverage enhanced |
| NEWS 22 AUG 13 | CA/Caplus enhanced with printed Chemical Abstracts page images from 1967-1998 |
| NEWS 23 AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS 24 AUG 15 | Caplus currency for Korean patents enhanced |
| NEWS 25 AUG 25 | CA/Caplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching |
| NEWS 26 AUG 27 | CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information |
| NEWS 27 SEP 18 | Support for STN Express, Versions 6.01 and earlier, to be discontinued |

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 20:11:54 ON 18 SEP 2008

=> file reg
COST IN U.S. DOLLARS
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0.21 0.21
FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 20:12:04 ON 18 SEP 2008
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STRUCTURE FILE UPDATES: 17 SEP 2008 HIGHEST RN 1049989-16-3
DICTIONARY FILE UPDATES: 17 SEP 2008 HIGHEST RN 1049989-16-3

New CAS Information Use Policies - enter HELP USACETERMS for details

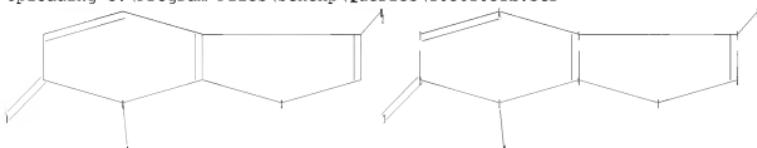
TEGA INFORMATION NOW CURRENT THROUGH July 5, 2008

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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<http://www.cas.org/support/stndgen/stndoc/properties.html>

=> Uploading C:\Program Files\Stnexp\Queries\10561051b.str



chain nodes.

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10 11 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-11 3-13 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 3-13 4-5 5-6 9-10
exact bonds :
4-7 5-9 7-8 8-9
isolated ring systems :
containing 1 :

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:Atom

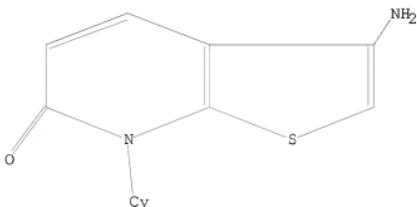
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1                    STR

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Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 20:12:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        3203 TO ITERATE

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| | | |
|------------------|-----------------|------------|
| 100.0% PROCESSED | 3203 ITERATIONS | 31 ANSWERS |
| SEARCH TIME: | 00.00.01 | |

L2 31 SEA SSS FUL L1

| | | |
|----------------------|------------|---------|
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| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 178.36 | 178.57 |

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FILE 'CAPLUS' ENTERED AT 20:12:25 ON 18 SEP 2008
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FILE COVERS 1907 - 18 Sep 2008 VOL 149 ISS 12
FILE LAST UPDATED: 17 Sep 2008 (20080917/ED)

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Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

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=> s 12 full
L3           11 L2

=> d ibib abs hitstr tot
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L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:673573 CAPLUS

DOCUMENT NUMBER: 149:32285

TITLE: Aminothienopyridinone derivatives as p38 MAP kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Davis, Jeremy Martin; Brookings, Daniel Christopher; Langham, Barry John; Hutchings, Martin Clive

PATENT ASSIGNEE(S): UCB Pharma, S.A., Belg.
SOURCE: PCT Int. Appl., 37pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008064829 | A2 | 20080605 | WO 2007-EP10189 | 20071123 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: GB 2006-23955 A 20061130
EP 2007-1807 A 20070127

OTHER SOURCE(S): MARPAT 149:32285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 3-aminothienopyridinone derivs. of formula I, to processes for preparing them, to pharmaceutical compns. containing them and to their use as pharmaceuticals. Compds. of formula I wherein R1 and R2 are independently C1-3 alkyl, halo and OH; m and n are independently 1-3; R3R4 taken together to form (un)substituted 4- to 6-membered non-aromatic heterocycle; and their pharmaceutically acceptable salts, stereoisomers, geometrical isomers, enantiomers and diastereoisomers thereof, are claimed. Example compound II was prepared by N-arylation of 3-bromo-7-(2,6-difluorophenyl)-2-((2R)-2-[(tetrahydro-2H-pyran-2-yloxy)methyl]pyrrolidin-1-yl]carbonyl)thieno[2,3-b]pyridin-6(7H)-one with 2-amino-6-picoline. All the invention compds. were evaluated for their p38 MAP kinase inhibitory activity. From the assay, it was determined that II exhibited the IC50 values of 15 - 30 nm against p38 α MAP kinase inhibitor.

IT 1030833-75-0P 1030833-95-4P 1030833-97-6P

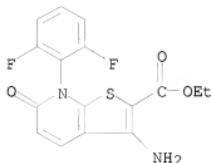
1030833-98-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aminothienopyridinone derivs. as p38 MAP kinase inhibitors useful in the treatment of diseases)

RN 1030833-75-0 CAPLUS

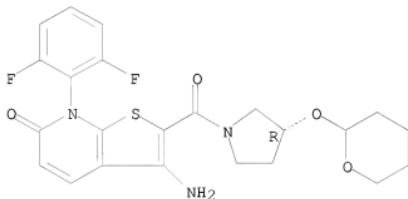
CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-7-(2,6-difluorophenyl)-6,7-dihydro-6-oxo-, ethyl ester (CA INDEX NAME)



RN 1030833-95-4 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2,6-difluorophenyl)-2-[(3R)-3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-pyrrolidinyl]carbonyl- (CA INDEX NAME)

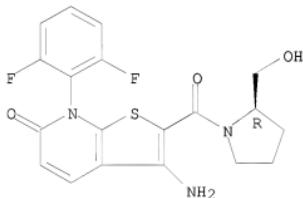
Absolute stereochemistry.



RN 1030833-97-6 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2,6-difluorophenyl)-2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl- (CA INDEX NAME)

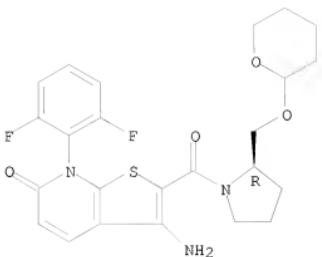
Absolute stereochemistry.



RN 1030833-98-7 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2,6-difluorophenyl)-2-[(2R)-2-[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-1-pyrrolidinyl]carbonyl- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:516682 CAPLUS

DOCUMENT NUMBER: 145:27972

TITLE: Process for palladium catalyzed C-N coupling

INVENTOR(S): Schlummer, Bjoern; Scholz, Ulrich; Smith, Ian

PATENT ASSIGNEE(S): Ucb, S.A., Belg.

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

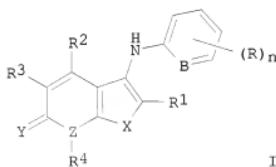
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

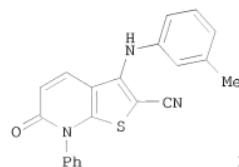
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------------|------------|
| WO 2006056412 | A1 | 20060601 | WO 2005-EP12509 | 20051123 |
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| DE 102004056821 | A1 | 20060601 | DE 2004-102004056821 | 20041124 |
| AU 2005308941 | A1 | 20060601 | AU 2005-308941 | 20051123 |
| CA 2586440 | A1 | 20060601 | CA 2005-2586440 | 20051123 |
| EP 1817313 | A1 | 20070815 | EP 2005-808296 | 20051123 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| JP 2008520613 | T | 20080619 | JP 2007-541828 | 20051123 |
| IN 2007DN03451 | A | 20070831 | IN 2007-DN3451 | 20070509 |
| KR 2007086565 | A | 20070827 | KR 2007-714254 | 20070622 |
| US 20080207907 | A1 | 20080828 | US 2007-718898 | 20071203 |
| PRIORITY APPLN. INFO.: | | | DE 2004-102004056821A | 20041124 |
| | | | DE 2004-102004056820A | 20041124 |
| | | | WO 2005-EP12509 | W 20051123 |

OTHER SOURCE(S): CASREACT 145:27972; MARPAT 145:27972

GI



I



II

AB The invention relates to a process for the preparation of thieno[2,3-b]pyridine deriva. I [wherein X = O, S, NH, or CH₂; Y = O or S; Z and B = independently N or CH; R1-R3 = independently H, (pseudo)halo, OH, NO₂, (un)substituted alkyl, alkoxy, aryl, etc.; R4 = H, (un)substituted alkyl,

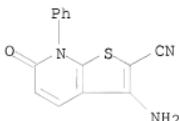
aryl, or arylalkyl; R = independently H, (pseudo)halo, OH, NO₂, (un)substituted alkyl, alkoxy, aryl, etc.; n = 0-5] comprising coupling of an aryl halide or an aryloxysulfonyl compound with an amine in the presence of palladium catalyst. For example, 3-amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-nitrile was reacted with 3-bromotoluene in the presence of tris(dibenzylideneacetone)palladium, a phosphorus ligand, and potassium phosphate to give II (87%). The process is useful for the formation of C-N bonds.

IT 639481-33-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium catalyzed C-N coupling)

RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl-
(CA INDEX NAME)



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409526 CAPLUS

DOCUMENT NUMBER: 142:463710

TITLE: Preparation of thieno[2,3-b]pyridinone derivatives as kinase, especially p38 MAP kinase, inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders

INVENTOR(S): Alexander, Rikki Peter; Davis, Jeremy Martin; Hutchings, Martin Clive; Laing, Victoria Elizabeth; Trevitt, Graham Peter

PATENT ASSIGNEE(S): Celitech R & D Limited, UK

SOURCE: PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

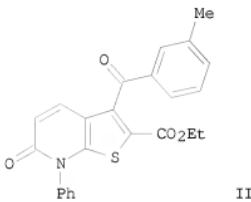
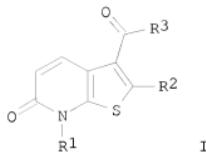
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| WO 2005042540 | A1 | 20050512 | WO 2004-GB4490 | 20041022 |
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| AU 2004285752 | A1 | 20050512 | AU 2004-285752 | 20041022 |
| CA 2540881 | A1 | 20050512 | CA 2004-2540881 | 20041022 |
| EP 1680429 | A1 | 20060719 | EP 2004-769004 | 20041022 |
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| JP 2007509123 | T | 20070412 | JP 2006-536178 | 20041022 |
| US 20070078131 | A1 | 20070405 | US 2006-576731 | 20060420 |
| PRIORITY APPLN. INFO.: | | | GB 2003-24902
GB 2003-29490
GB 2004-2918
GB 2004-16934 | A 20031024
A 20031219
A 20040210
A 20040729 |
| | | | WO 2004-GB4490 | W 20041022 |

OTHER SOURCE(S): MARPAT 142:463710

GI



AB Title compds. I [wherein R1 = (un)substituted (C3-7 cycloalkyl)methyl, hetero/aryl; R2 = H, NO₂, CN, CO₂H and derivs., NH₂ and derivs., etc.; R3 = (un)substituted hetero/aryl; and their pharmaceutically acceptable salts] were prepared as p38 MAP kinase inhibitors for treating and/or preventing immune or inflammatory disorders. For example, II was prepared by reacting Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given) with 3-methylbenzaldehyde and oxidation with MnO₂.

I are potent inhibitors of p38 MAP kinase (IC50 around 2 μM and below), especially p38α kinase.

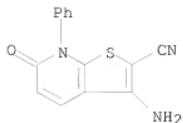
IT 639481-33-7P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 817177-56-3P, 3-Amino-2-nitro-7-phenylthieno[2,3-b]pyridin-6(7H)-one 851748-38-4P, 3-Amino-7-(2-chlorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851748-57-7P, 3-Amino-7-(2-fluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851748-69-1P, 3-Amino-7-(6-chloropyridin-3-yl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851749-70-7P, 3-Amino-7-(2,6-difluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851749-97-8P, 3-Amino-7-(4-methylphenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one 851750-11-3P, 3-Amino-7-(4-fluorophenyl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)

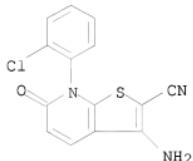
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



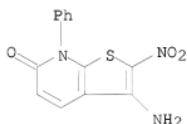
RN 639481-35-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



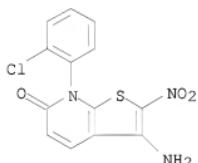
RN 817177-56-3 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-nitro-7-phenyl- (CA INDEX NAME)



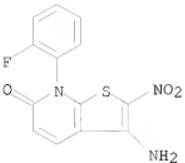
RN 851748-38-4 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2-chlorophenyl)-2-nitro- (CA INDEX NAME)



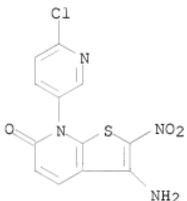
RN 851748-57-7 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2-fluorophenyl)-2-nitro- (CA INDEX NAME)



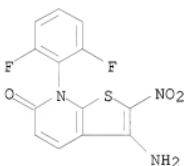
RN 851748-69-1 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(6-chloro-3-pyridinyl)-2-nitro-
(CA INDEX NAME)



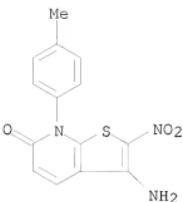
RN 851749-70-7 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(2,6-difluorophenyl)-2-nitro-
(CA INDEX NAME)



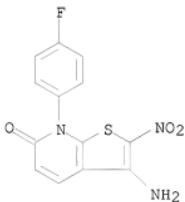
RN 851749-97-8 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(4-methylphenyl)-2-nitro- (CA
INDEX NAME)



RN 851750-11-3 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(4-fluorophenyl)-2-nitro- (CA INDEX NAME)



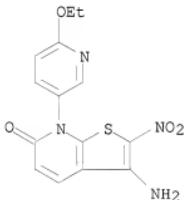
IT 851748-71-5P, 3-Amino-7-(6-ethoxypyridin-3-yl)-2-nitrothieno[2,3-b]pyridin-6(7H)-one

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)

RN 851748-71-5 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-(6-ethoxy-3-pyridinyl)-2-nitro- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154722 CAPLUS

DOCUMENT NUMBER: 142:93797

TITLE: Process for preparing 3-aminothienopyridone derivatives and their applications to the synthesis of p38 MAP kinase inhibitors

INVENTOR(S): Evans, Graham Robert; Smith, Ian Harold; Tremayne, Neil; Jones, Leighton; Langston, Marianne

PATENT ASSIGNEE(S): Celitech R & D Limited, UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

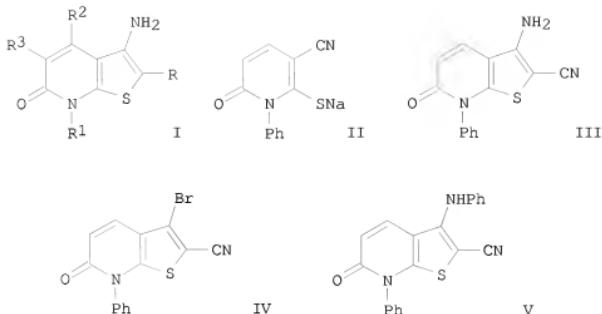
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004113349 | A1 | 20041229 | WO 2004-GB2680 | 20040618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004249507 | A1 | 20041229 | AU 2004-249507 | 20040618 |
| CA 2528927 | A1 | 20041229 | CA 2004-2528927 | 20040618 |
| EP 1638980 | A1 | 20060329 | EP 2004-743031 | 20040618 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2007516163 | T | 20070621 | JP 2006-516465 | 20040618 |
| US 20070191608 | A1 | 20070816 | US 2006-561051 | 20060608 |
| PRIORITY APPLN. INFO.: | | | GB 2003-14493 | A 20030620 |
| | | | GB 2003-29471 | A 20031219 |
| | | | WO 2004-GB2680 | W 20040618 |

OTHER SOURCE(S): MARPAT 142:93797

GI

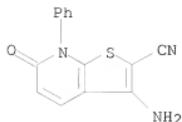


AB This invention provides a class of 3-amino-7H-thieno[2,3-b]pyridin-6-one derivs. I [wherein R = cyano, NO₂, CO₂Alk₂, C(O)alkyl, CONNHet₂; Alk₂ = (un)substituted alkyl or aryl; Het₂ = (un)substituted 4/5/6-membered heterocycloalkyl; R₁ = (un)substituted (hetero)aryl or (hetero)cycloalkyl; R₂, R₃ = H or a hydrogen atom precursor, or salts, solvates, hydrates, protected derivs. and N-oxides thereof], a process for their preps., and the use thereof as intermediates in the manufacture of certain p38 MAP kinase inhibitors. For example, 2-cyano-N-phenylthioacetamide was treated with N,N-dimethyluracil to give crude thiolate II containing about 20% ethanol, which was directly refluxed with chloroacetonitrile in acetonitrile for 2 h to afford amine III. This compound underwent diazotization and subsequent halide displacement with tert-butylnitrite and CuBr₂, leading to bromide IV. Pd-catalyzed N-alkylation of III with bromobenzene or amination of IV with aniline yielded V. Conversion of this product to the corresponding carboxamide was realized by the hydrolysis of the cyano group in the presence of NaOH-H₂O-Ethanol system.

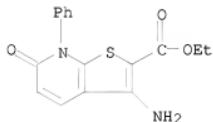
IT 639481-33-7P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-34-8P, 3-Amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylic acid ethyl ester 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-42-8P, 3-Amino-7-cyclopropyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 817177-51-8P, 3-Amino-7-phenyl-2-[(pyrrolidin-1-yl)carbonyl]-7H-thieno[2,3-b]pyridin-6-one 817177-53-0P 817177-55-2P, (S)-3-Amino-2-[(2-hydroxymethylpyrrolidin-1-yl)carbonyl]-7-phenyl-7H-thieno[2,3-b]pyridin-6-one 817177-56-3P, 3-Amino-2-nitro-7-phenyl-7H-thieno[2,3-b]pyridin-6-one 817177-58-5P, 3-Amino-2-(4-ethylpiperazin-1-ylcarbonyl)-7-phenyl-7H-thieno[2,3-b]pyridin-6-one
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for preparing 3-aminothienopyridone derivs. and their applications to the synthesis of p38 MAP kinase inhibitors)

RN 639481-33-7 CAPLOS

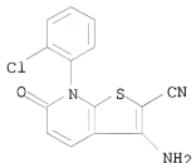
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



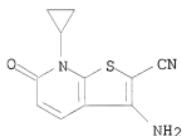
RN 639481-34-8 CAPLUS
 CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



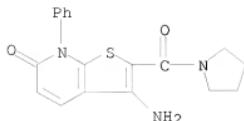
RN 639481-35-9 CAPLUS
 CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



RN 639481-42-8 CAPLUS
 CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-cyclopropyl-6,7-dihydro-6-oxo- (CA INDEX NAME)

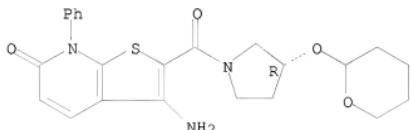


RN 817177-51-8 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-phenyl-2-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)



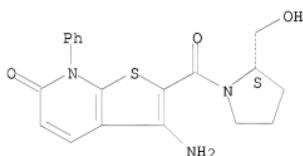
RN 817177-53-0 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-7-phenyl-2-[(3R)-3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-pyrrolidinyl]carbonyl- (CA INDEX NAME)

Absolute stereochemistry.

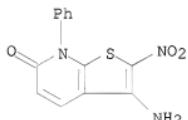


RN 817177-55-2 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl-7-phenyl- (CA INDEX NAME)

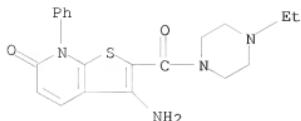
Absolute stereochemistry.



RN 817177-56-3 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-nitro-7-phenyl- (CA INDEX NAME)



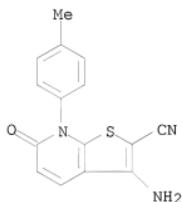
RN 817177-58-5 CAPLUS
 CN Thieno[2,3-b]pyridin-6(7H)-one, 3-amino-2-[(4-ethyl-1-piperazinyl)carbonyl]-7-phenyl- (CA INDEX NAME)



IT 639481-38-2P, 3-Amino-7-(4-methylphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile 639481-44-0P,
 3-Amino-7-(2-methylphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (process for preparing 3-aminothienopyridone derivs. and their applications to the synthesis of p38 MAP kinase inhibitors)

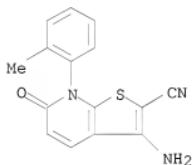
RN 639481-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 639481-44-0 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1154721 CAPLUS
 DOCUMENT NUMBER: 142:93796
 TITLE: Preparation of thienopyridone derivatives as p38 MAPK inhibitors
 INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin;
 Langham, Barry John
 PATENT ASSIGNEE(S): Celltech R & D Limited, UK
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|-----------|-----------------|------------|
| WO 2004113348 | A1 | 20041229 | WO 2004-GB2644 | 20040618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004249498 | A1 | 20041229 | AU 2004-249498 | 20040618 |
| CA 2528603 | A1 | 20041229 | CA 2004-2528603 | 20040618 |
| EP 1638979 | A1 | 20060329 | EP 2004-742997 | 20040618 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| JP 2007516162 | T | 20070621 | JP 2006-516453 | 20040618 |
| US 20060247269 | A1 | 20061102 | US 2006-561050 | 20060629 |
| PRIORITY APPLN. INFO.: | | | GB 2003-14490 | A 20030620 |
| | | | GB 2003-29495 | A 20031219 |
| | | | WO 2004-GB2644 | W 20040618 |
| OTHER SOURCE(S): | MARPAT | 142:93796 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = covalent bond, NH or N(alkyl); Y = C(O) or S(O)2; A = (CH2)_q; B = (CH2)_m; n = 0 or 1; m = 1-3; p = 0-4; q = 0-2; R = (un)substituted OH, alkoxy or amino; L = O, S, S(O), S(O)2 or CH2, CHR or CR2, NH or N(alkyl); ALK1 = alkylene; Cyl = (un)substituted (hetero)cycle or (hetero)aryl; Ar = (un)substituted (hetero)aryl; or salts, solvates, hydrates and N-oxides thereof] were prepared as p38 MAPK inhibitors. For example, II was synthesized in several steps from Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given), via amination with 2,4-difluoroaniline, ester hydrolysis, carboxy group activation with pentafluorophenol and coupling with cis-2-aminocyclopentanol hydrochloride. Example compds. had IC50 values of around 1 μ M and below for human p38 α kinase. Therefore, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of immune or inflammatory disorders.

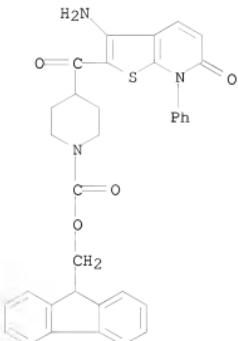
IT 816464-43-4P, 9H-Fluoren-9-ylmethyl 4-[(3-amino-6-oxo-7-phenyl-6,7-

dihydrothieno[2,3-b]pyridin-2-yl)carbonyl)piperidine-1-carboxylate
816464-48-9P, Benzyl 4-[(3-amino-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridin-2-yl)carbonyl)piperidine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienopyridone derivs. as p38 MAPK inhibitors)

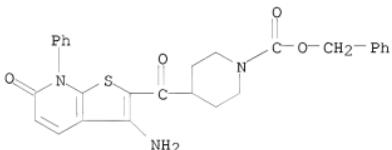
RN 816464-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-, 9H-fluoren-9-ylmethyl ester
(CA INDEX NAME)



RN 816464-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-amino-6,7-dihydro-6-oxo-7-phenylthieno[2,3-b]pyridin-2-yl)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154720 CAPLUS

DOCUMENT NUMBER: 142:93795

TITLE: Preparation of thienopyridone derivatives as p38 α kinase inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin;
Langham, Barry John

PATENT ASSIGNEE(S): Bingham, Barry John
Celltech B & D Limited, UK

PATENT ASSIGNEE(S): Celltech R & D Limited,
SOURCE: PCT Int. Appl., 129 pp.

SOURCE: FCI Inc. Appl
CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English

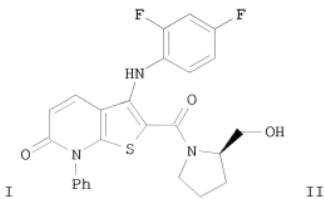
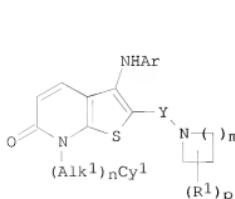
LANGUAGE: E
FAMILY ACC NUM COUNT: 1

[View comments](#)

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--|--------------------------------------|
| WO 2004113347 | A1 | 20041229 | WO 2004-GB2621 | 20040618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, TG, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| AU 2004249495 | A1 | 20041229 | AU 2004-249495 | 20040618 |
| CA 2528602 | A1 | 20041229 | CA 2004-2528602 | 20040618 |
| EP 1641804 | A1 | 20060405 | EP 200604-742976 | 20040618 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004010653 | A | 20060704 | BR 2004-10653 | 20040618 |
| CN 1809575 | A | 20060726 | CN 2004-80017320 | 20040618 |
| JP 2007516161 | T | 20070621 | JP 2006-516443 | 20040618 |
| MX 2005PA13227 | A | 20060309 | MX 2005-PA13227 | 20051206 |
| IN 2005DN5823 | A | 20080201 | IN 2005-DN5823 | 20051214 |
| NO 2006000279 | A | 20060320 | NO 2006-279 | 20060119 |
| US 20070099894 | A1 | 20070503 | US 2006-561052
GB 2003-14492
GB 2003-29485 | 20061010
A 20030620
A 20031219 |
| PRIORITY APPLN. INFO.: | | | WO 2004-GB2621 | W 20040618 |

OTHER SOURCE(S): GASREACT 142:93795; MARPAT 142:93795

GT



AB Title compds. I [Y = linking group CO, SO₂; n = 0-1; m, p = 1-4; R1 = OH, alkylene-OH, alkoxy, etc.; Alk1 = alkylene; Cyl = cycloaliph., aromatic, heteroarom., etc.; Ar = (un)substituted (hetero)aromatic, etc.] are prepared. For instance, 3-Bromo-7-phenyl-2-[(2R)-2-[(tetrahydro-2H-pyran-2-yl)oxy]methyl]pyrrolidin-1-yl]carbonylthieno[2,3-b]pyridin-6(7H)-one (preparation given) is coupled to 2,4-difluoroaniline (PhMe, Cs₂CO₃, BINAP, Pd2(dba)₃, reflux 48 h) and the resulting product deprotected with HCl to give II. All compds. inhibit p38 kinase with IC₅₀ of 1 μM or less. I are useful for the treatment and/or prevention of immune or inflammatory disorders.

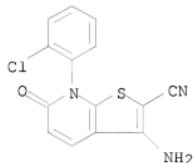
IT 639481-35-9P, 3-Amino-7-(2-chlorophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-2-carbonitrile

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienopyridone derivs. as p38α kinase inhibitors)

RN 639481-35-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:143162 CAPLUS

DOCUMENT NUMBER: 140:181432

TITLE: Preparation of bicyclic heteroaromatic compounds as p38 kinase inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

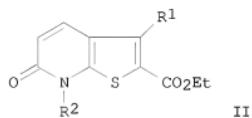
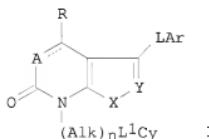
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004014920 | A1 | 20040219 | WO 2003-GB3501 | 20030811 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2495518 | A1 | 20040219 | CA 2003-2495518 | 20030811 |
| AU 2003252990 | A1 | 20040225 | AU 2003-252990 | 20030811 |
| EP 1539769 | A1 | 20050615 | EP 2003-784288 | 20030811 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005537300 | T | 20051208 | JP 2004-527055 | 20030811 |
| US 20060025428 | A1 | 20060202 | US 2005-524199 | 20050728 |
| PRIORITY APPLN. INFO.: | | | GB 2002-18800 | A 20020813 |
| | | | WO 2003-GB3501 | W 20030811 |

OTHER SOURCE(S):

MARPAT 140:181432

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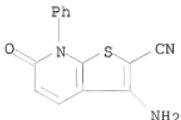


AB Title compds. I [A = N, (un)substituted CH, dashed line is a double bond; A = (un)substituted NH, CH₂, dashed line is a single bond; X = O, S, (un)substituted NH, S(O), SO₂; Y = N, (un)substituted CH; Alk = (un)substituted aliphatic, heteroaliph.; n = 0, 1; Ar = (un)substituted aromatic, heteroarom.; L = atom, alkylene, heteroalkylene; L1 = bond, linker atom, linker group; Cy = H, (un)substituted cycloaliph, polycycloaliph., heterocyclic, polyheterocyclic, aromatic, heteroarom.; R = H, CN, (un)substituted alkyl, CO₂H, CONH₂], especially 6-oxo-6,7-dihydrothieno[2,3-

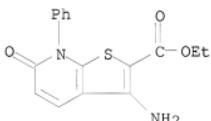
b]pyridine derivs., which are inhibitors of p38 kinase of use in the treatment and/or prevention of immune or inflammatory disorders (no data) were prepared. Thus, II [R1 = NHCH2Ph, r2 = Ph] was prepared from 2-chloronicotinonitrile and HSCN2CO2Et via II [R1 = Br, R2 = H] by treatment with PhB(OH)2 and PhCH2NH2.

IT 639481-33-7P 639481-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic heteroarom. compds. as p38 kinase inhibitors)
RN 639481-33-7 CAPLUS
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



RN 639481-34-8 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2888 CAPLUS

DOCUMENT NUMBER: 140:59658

TITLE: Preparation of arylamine substituted bicyclic hetero-aromatic compounds as p38 kinase inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

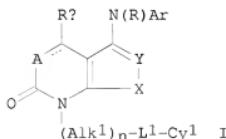
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004000846 | A1 | 20031231 | WO 2003-GB2667 | 20030620 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2487718 | A1 | 20031231 | CA 2003-2487718 | 20030620 |
| AU 2003253087 | A1 | 20040106 | AU 2003-253087 | 20030620 |
| BR 2003011842 | A | 20050315 | BR 2003-11842 | 20030620 |
| EP 1551848 | A1 | 20050713 | EP 2003-760802 | 20030620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1671715 | A | 20050921 | CN 2003-818371 | 20030620 |
| JP 2005530838 | T | 20051013 | JP 2004-515043 | 20030620 |
| NZ 537740 | A | 20060331 | NZ 2003-537740 | 20030620 |
| MX 2004PA12746 | A | 20050323 | MX 2004-PA12746 | 20041215 |
| NO 2005000306 | A | 20050316 | NO 2005-306 | 20050119 |
| ZA 2005000524 | A | 20060830 | ZA 2005-524 | 20050119 |
| US 20060004025 | A1 | 20060105 | US 2005-518725 | 20050526 |
| US 7423047 | B2 | 20080909 | | |
| PRIORITY APPLN. INFO.: | | | GB 2002-14268 | A 20020620 |
| | | | WO 2003-GB2667 | W 20030620 |

OTHER SOURCE(S): MARPAT 140:59658

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AB Bicyclic heteroarom. derivs. I; where the dashed line joining A and C(Ra) is present and represents a bond and A is a -N= atom or a -C(Rb)= group, or the dashed line is absent and A is a -N(Rb)-, or -C(Rb)(Rc)- group; X

is an $-O-$, $-S-$ or substituted nitrogen atom or a $-S(O)-$, $-S(O_2)-$ or $-NH-$ group; Y is a nitrogen or substituted carbon atom or a $-CH=$ group; n is zero or the integer 1; Alkl is an optionally substituted aliphatic or hetero-aliphatic chain Ll is a covalent bond or a linker atom or group; Cyl is a hydrogen atom or an optionally substituted cyclo-aliphatic, poly-cyclo-aliphatic, hetero-cyclo-aliphatic, poly-hetero-cyclo-aliphatic, aromatic or hetero-aromatic group; Ar is an optionally substituted aromatic or heteroarom. group; and the remaining substituents are defined in the specification. The compds. are potent and selective inhibitors of p38 kinase and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, 3-[(2,4-difluorophenyl)amino]-6-oxo-7-phenyl-N-pyrrolidin-3-yl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxamide was prepared as as p38 kinase inhibitor. In the p38 inhibitor assays described above compds. of the invention have IC₅₀ values of around 1 μM and below. The compds. of the invention are clearly potent inhibitors of p38 kinase, especially p38 α kinase.

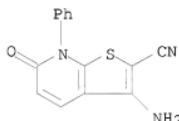
IT 639481-33-7P 639481-34-8P 639481-35-9P
 639481-38-2P 639481-42-8P 639481-44-0P
 639481-75-7P 639481-76-8P 639482-12-5P
 639482-14-7P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of arylamine substituted bicyclic hetero-aromatic compds. as

p38 kinase inhibitors)

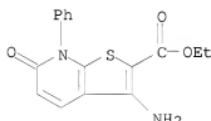
RN 639481-33-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



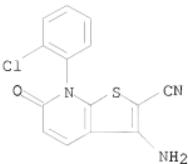
RN 639481-34-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)

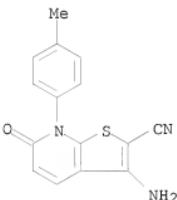


RN 639481-35-9 CAPLUS

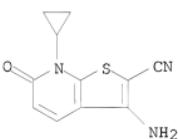
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-(2-chlorophenyl)-6,7-dihydro-6-oxo- (CA INDEX NAME)



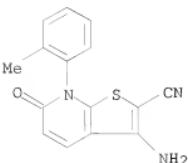
RN 639481-38-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(4-chlorophenyl)-6-oxo- (CA INDEX NAME)



RN 639481-42-8 CAPLUS
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-7-cyclopropyl-6,7-dihydro-6-oxo- (CA INDEX NAME)

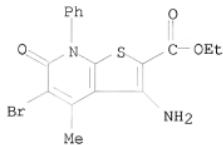


RN 639481-44-0 CAPLUS
CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-7-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



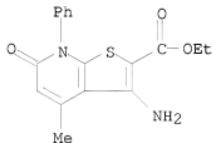
RN 639481-75-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-5-bromo-6,7-dihydro-4-methyl-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



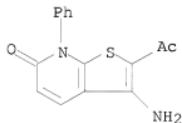
RN 639481-76-8 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxylic acid, 3-amino-6,7-dihydro-4-methyl-6-oxo-7-phenyl-, ethyl ester (CA INDEX NAME)



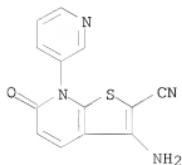
RN 639482-12-5 CAPLUS

CN Thieno[2,3-b]pyridin-6(7H)-one, 2-acetyl-3-amino-7-phenyl- (CA INDEX NAME)



RN 639482-14-7 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6,7-dihydro-6-oxo-7-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:29695 CAPLUS

DOCUMENT NUMBER: 136:325480

TITLE: Novel synthesis of thiazole, coumarin, pyridine,
thiophene and thieno[2,3-b]pyridine derivatives

AUTHOR(S): El-Taweel, F. M. A.; Elagamey, A. A.; El-Kenawy, A.
A.; Waly, M. A.

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Mansoura
University, New Damietta, Egypt

SOURCE: Phosphorus, Sulfur and Silicon and the Related
Elements (2001), 176, 215-225
CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach Science Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:325480

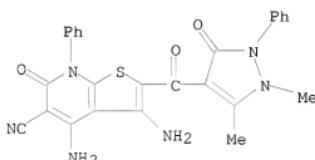
AB Several new thiazole, coumarin, pyridine, thiophene, and thienopyridines
were prepared from 4-chloroacetylantipyrine and activated nitriles as
starting materials.

IT 413570-88-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thiazole, coumarin, pyridine, thiophene, and
thieno[2,3-b]pyridine derivs.)

RN 413570-88-4 CAPLUS

CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-2-[(2,3-dihydro-1,5-
dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)carbonyl]-6,7-dihydro-6-oxo-7-
phenyl- (CA INDEX NAME)



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:531099 CAPLUS

DOCUMENT NUMBER: 117:131099

ORIGINAL REFERENCE NO.: 117:22763a,22766a

TITLE: One-pot synthesis of polyfunctionally substituted thiophenes: thieno[2,3-b]pyridine and thiено[3,4-d]pyridazine derivatives

AUTHOR(S): Mohareb, Rafaat Milad

CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

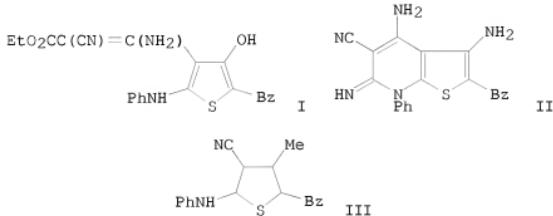
SOURCE: Gazzetta Chimica Italiana (1992), 122(4), 147-50

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



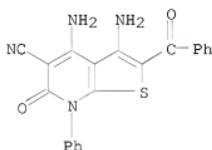
AB The enaminonitriles EtO₂CCH₂C(NH₂):C(CN)CCO₂Et, NCCH₂C(NH₂):C(CN)₂, and MeC(:NH)CH₂CN treated with Ph isothiocyanate followed by cyclization with PHCH₂COBr gave the thiophene I, the thieno[2,3-b]pyridine II and the thiophene III, resp. The reactivity of the reaction products toward different reagents to form heterocyclic and fused heterocyclic ring systems was confirmed.

IT 143208-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143208-39-3 CAPLUS

CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-2-benzoyl-6,7-dihydro-6-oxo-7-phenyl- (CA INDEX NAME)



L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:407844 CAPLUS

DOCUMENT NUMBER: 117:7844

ORIGINAL REFERENCE NO.: 117:1587a,1590a

TITLE: Novel synthesis of 4-(coumarin-3-yl)-1,3-thiazole, 2-(coumarin-3-carbonyl)thieno[2,3-b]pyridine, and 2-(coumarin-3-carbonyl)thiophene derivatives

AUTHOR(S): Mohareb, Rafat Milad; Shams, Hoda Zaki; Aziz, Suzan Ibrahim

CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

SOURCE: Journal of Chemical Research, Synopses (1992), (5), 154-5

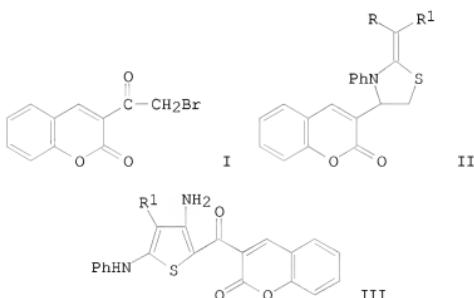
DOCUMENT TYPE: CODEN: JRPSCD; ISSN: 0308-2342

LANGUAGE: Journal

OTHER SOURCE(S): English

CASREACT 117:7844

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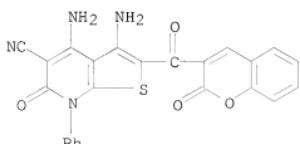
AB The active methylene reagents CH₂RR₁ (R = CN, R₁ = CO₂Et; R = COMe, R₁ = COMe, CO₂Et, CONHPh) react with PhNCS followed by cyclization with I bromoacetylcoumarin to afford the thiazole derivs. II, whereas CH₂RR₁(R = CN, R₁ = CONH₂, CSNH₂, CONHPh) react with the same reagents at both low and high temps. to afford III and the thiophene derivs.

IT 141633-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 141633-02-5 CAPLUS

CN Thieno[2,3-b]pyridine-5-carbonitrile, 3,4-diamino-6,7-dihydro-6-oxo-2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]-7-phenyl- (CA INDEX NAME)




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